G1 H, Ak, Cb

G2 C,N

G3 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> Uploading C:\Program Files\Stnexp\Queries\049976.str

L11 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

$$Ak$$
 $G1$ 
 $G2$ 
 $G2$ 
 $G2$ 
 $G3$ 
 $G4$ 
 $G5$ 
 $G5$ 
 $G7$ 
 $G9$ 

G1 H, Ak, Cb

G2 C,N

## RL12

G1 H,Ak,Cb G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 18 sss full

FULL SEARCH INITIATED 15:13:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 38431 TO ITERATE

100.0% PROCESSED 38431 ITERATIONS

50 ANSWERS

SEARCH TIME: 00.00.01

L13 50 SEA SSS FUL L8

=> s 19 sss full

FULL SEARCH INITIATED 15:13:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 223686 TO ITERATE

100.0% PROCESSED 223686 ITERATIONS

385 ANSWERS

SEARCH TIME: 00.00.04

L14 385 SEA SSS FUL L9

=> s 112 sss full

FULL SEARCH INITIATED 15:14:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 23798 TO ITERATE

100.0% PROCESSED 23798 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

L15 3 SEA SSS FUL L12

=> file caplus

SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 469.20 842.61 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION -6.93 0.00 CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 15:14:29 ON 27 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 May 2004 VOL 140 ISS 22 FILE LAST UPDATED: 26 May 2004 (20040526/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 113

L16 1 L13

=> s 114 not 115

13 L14

3 L15 L17 10 L14 NOT L15

=> d l16 ibib abs hitstr

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:136943 CAPLUS

DOCUMENT NUMBER: 134:174246

TITLE: Preparation of pyridine derivative fungicides

INVENTOR(S): Cooke, Tracey; Hardy, David; Moloney, Brian; Thomas,

Peter Stanley; Steele, Chris Richard; Briggs, Geoffrey

Gower

PATENT ASSIGNEE(S): Aventis CropScience GmbH, Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 2001011965	A1 20010222	WO 2000-EP8143 20000809
W: AE, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR,
		ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
IL, IN,	IS, JP, KE, KG,	KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD,	MG, MK, MN, MW,	MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI,	SK, SL, TJ, TM,	TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM,	AZ, BY, KG, KZ,	MD, RU, TJ, TM
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
		GW, ML, MR, NE, SN, TD, TG
BR 2000013371	A 20020507	BR 2000-13371 20000809
EP 1204323	A1 20020515	EP 2000-960499 20000809

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL JP 2001-516328 - 20000809 JP 2003506465 T2 20030218 GB 1999-19499 A 19990818 PRIORITY APPLN. INFO .: A 19990818 GB 1999-19500 WO 2000-EP8143 W 20000809 OTHER SOURCE(S): MARPAT 134:174246 The pyridine derivs. AlCR1R2LA2 [A1 = (un) substituted 2-pyridyl or its N-oxide; Y = LA2 or L1A3; A2, A3 = (un)substituted carbocyclyl or heterocyclyl; L = NR5C(:X)NR6, NR5C(:X)CHR3, CHR3NR5CHR4, etc.; L1 =NR9C(:X)X1CHR7, NR9C(:X)CHR7CHR8, etc.; R1-9 = CN, NO2, halo, etc.] are prepared as agrochem. fungicides. IT 326816-46-0P 326816-50-6P 326816-51-7P 326816-52-8P 326816-57-3P 326816-58-4P 326816-59-5P 326816-60-8P 326816-61-9P 326816-62-0P 326816-63-1P 326816-64-2P 326816-65-3P 326816-66-4P 326816-67-5P 326816-68-6P 326816-69-7P 326816-70-0P 326816-71-1P 326816-72-2P 326816-73-3P 326816-74-4P 326816-75-5P 326816-76-6P 326816-77-7P 326816-78-8P 326816-79-9P 326816-80-2P 326816-81-3P 326816-82-4P 326816-83-5P 326816-84-6P 326816-85-7P 326816-86-8P 326816-87-9P 326816-88-0P 326816-89-1P 326816-90-4P 326816-91-5P 326816-92-6P 326816-93-7P 326816-94-8P 326816-95-9P 326816-96-0P 326816-97-1P 326816-98-2P 326816-99-3P 326817-00-9P 326817-01-0P 326817-10-1P RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation as fungicide) RN326816-46-0 CAPLUS Benzenepropanamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-CN methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{C1} \\ & & \\ & & \\ & \text{CH}_2-\text{CH}_2-\text{C-NH-CH}_2 \\ & & \\$$

RN 326816-50-6 CAPLUS CN 2-Pyridineacetic acid, 3-chloro- $\alpha$ -[ethyl(phenoxyacetyl)amino]-5- (trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 326816-51-7 CAPLUS

CN Acetamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-phenoxy-(9CI) (CA INDEX NAME)

F3C 
$$N$$
  $O$   $||$   $CH_2-NH-C-CH_2-OPh$ 

RN 326816-52-8 CAPLUS

CN Acetamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(phenylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{F3C} & \text{O} & \text{O} \\ & \text{CH}_2\text{-NH-C-CH}_2\text{-SPh} \end{array}$$

RN 326816-57-3 CAPLUS

CN Propanamide, 2-(4-chlorophenoxy)-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me O} & \text{Cl} \\ & & \text{N} \\ & \text{O-C-C-NH-CH}_2 \\ & \text{Me} \\ & \text{N} \\ & \text{CF} \\ \end{array}$$

RN 326816-58-4 CAPLUS

CN Propanamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(2,6-dichlorophenoxy)- (9CI) (CA INDEX NAME)

RN 326816-59-5 CAPLUS

CN Propanamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(phenylthio)- (9CI) (CA INDEX NAME)

F3C N O SPh 
$$\parallel$$
 CH2-NH-C-CH-Me

RN 326816-60-8 CAPLUS

CN Acetamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(2,4-dichlorophenoxy)- (9CI) (CA INDEX NAME)

RN 326816-61-9 CAPLUS

CN Acetamide, 2-(4-chlorophenoxy)-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

RN 326816-62-0 CAPLUS

CN Acetamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-[[3-(4-methylphenyl)-1,2,4-thiadiazol-5-yl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & C1 \\
 & C \\
 & C \\
 & N \\
 & N \\
 & N \\
 & CF_3
\end{array}$$

RN 326816-63-1 CAPLUS

CN Acetamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{C1} \\ & & & \\ & & \\ \text{Me} & & & \\ \end{array}$$

326817-01-0 CAPLUS RN

Propanamide, 2-(4-bromo-3,5-dimethylphenoxy)-N-[[3-chloro-5-CN(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

326817-10-1 CAPLUS RN

Propanamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-CN (phenylsulfonyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F_3C & O & O \\ & || & || \\ CH_2-NH-C-CH-Me & || \\ O & S-Ph \\ || & O \end{array}$$

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 117 1-10 ibib abs hitstr

L17 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

2

2004:162541 CAPLUS ACCESSION NUMBER:

140:176744 DOCUMENT NUMBER:

Preparation of 2-pyridylethylbenzamide derivative TITLE:

fungicides

Mansfield, Darren James; Cooke, Tracey; Thomas, Peter INVENTOR(S):

Stanley; Coqueron, Pierre-Yves; Vors, Jean-Pierre; Briggs, Geoffrey Gower; Lachaise, Helene; Rieck, Heiko; Desbordes, Philippe; Grosjean-Cournoyer, Date not good

Marie-Claire

Bayer Cropscience S. A., Fr. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

GI

## PATENT INFORMATION:

```
APPLICATION NO. DATE
                               DATE
                        KIND
     PATENT NO.
                         _ -- --
                               _____
                                                WO 2003-EP9516
                                                                    20030808
                         A2
                               20040226
     WO 2004016088
     WO 2004016088
                               20040325
                         Α3
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
              PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
              NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
              GW, ML, MR, NE, SN, TD, TG
                                                 EP 2002-356159
                                                                    20020812
     EP 1389614
                        A1 20040218
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                             EP 2002-356159 A 20020812
PRIORITY APPLN. INFO.:
                                             FR 2003-5233
                                                               A 20030429
                            MARPAT 140:176744
OTHER SOURCE(S):
```

$$x_p + N$$

The 2-pyridylethylbenzamide derivs. I, in which p is 1, 2, 3 or 4; q is 1, 2, 3, 4 or 5; X is chosen, halo, alkyl or haloalkyl, at least one of the substituents being a haloalkyl; Y is halo, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, amino, phenoxy, alkylthio, dialkylamino, acyl, cyano, ester, hydroxy, aminoalkyl, benzyl, haloalkoxy, halosulfonyl, halothioalkyl, alkoxyalkenyl, alkylsulfonamide, nitro, alkylsulfonyl, phenylsulfonyl or benzylsulfonyl; as well as I N-oxides are prepared as fungicides. N-{2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-ethyl}-2,6-dichlorobenzamide is an exception. Method for treating phytopathogenic diseases.

658066-16-1P 658066-17-2P 658066-18-3P 658066-19-4P 658066-20-7P 658066-21-8P 658066-22-9P 658066-23-0P 658066-24-1P 658066-25-2P 658066-29-6P 658066-27-4P 658066-28-5P 658066-29-6P 658066-30-9P 658066-31-0P 658066-32-1P 658066-33-2P 658066-34-3P 658066-35-4P 658066-36-5P 658066-37-6P 658066-38-7P 658066-39-8P 658066-40-1P 658066-41-2P 658066-42-3P 659743-70-1P 659743-73-4P 659743-74-5P 659743-75-6P 659743-76-7P 659743-77-8P 659743-81-4P 659743-82-5P 659743-83-6P

RN

CN

```
659743-84-7P 659743-85-8P 659743-86-9P
659743-87-0P 659743-88-1P 659743-89-2P
659743-90-5P 659743-91-6P 659743-92-7P
659743-93-8P 659743-94-9P 659743-95-0P
659743-96-1P 659743-97-2P 659743-98-3P
659743-99-4P 659744-00-0P 659744-01-1P
659744-02-2P 659744-03-3P 659744-04-4P
659744-05-5P 659744-06-6P 659744-07-7P
659744-08-8P 659744-09-9P 659744-10-2P
659744-11-3P 659744-12-4P 659744-13-5P
659744-14-6P 659744-15-7P 659744-16-8P
659744-17-9P 659744-18-0P 659744-19-1P
659744-20-4P 659744-21-5P 659744-22-6P
659744-23-7P 659744-24-8P 659744-25-9P
659744-26-0P 659744-27-1P 659744-28-2P
659744-29-3P 659744-30-6P 659744-31-7P
659744-32-8P 659744-33-9P 659744-34-0P
659744-35-1P 659744-36-2P 659744-37-3P
659744-38-4P 659744-39-5P 659744-40-8P
659744-41-9P 659744-42-0P 659744-43-1P
659744-44-2P 659744-45-3P 659744-46-4P
659744-47-5P 659744-48-6P 659744-49-7P
659744-50-0P 659744-51-1P 659744-52-2P
659744-53-3P 659744-54-4P 659744-55-5P
659744-56-6P 659744-57-7P 659744-58-8P
659744-59-9P 659744-60-2P 659744-61-3P
659744-62-4P 659744-63-5P 659744-64-6P
659744-65-7P 659744-66-8P 659744-67-9P
659744-68-0P 659744-69-1P 659744-70-4P
659744-71-5P 659744-72-6P 659744-73-7P
659744-74-8P 659744-75-9P 659744-76-0P
659744-77-1P 659744-78-2P 659744-79-3P
659744-80-6P 659744-81-7P 659744-82-8P
659744-83-9P 659744-84-0P 659744-85-1P
659744-86-2P 659744-87-3P 659744-88-4P
659744-89-5P 659744-90-8P 659744-91-9P
659744-92-0P 659744-93-1P 659744-94-2P
659744-95-3P 659744-96-4P 659744-97-5P
659744-98-6P 659744-99-7P 659745-00-3P
659745-01-4P 659745-02-5P 659745-03-6P
659745-04-7P 659745-05-8P 659745-06-9P
659745-07-0P 659745-08-1P 659745-09-2P
659745-10-5P 659745-11-6P 659745-12-7P
659745-13-8P 659745-14-9P 659745-15-0P
659745-16-1P 659745-17-2P 659745-18-3P
659745-19-4P 659745-20-7P 659745-21-8P
659745-22-9P 659745-23-0P 659745-24-1P
659745-25-2P 659745-26-3P 659745-27-4P
659745-28-5P 659745-29-6P 659745-30-9P
659745-31-0P 659745-32-1P 659745-33-2P
659745-34-3P 659745-35-4P 659745-36-5P
659745-37-6P 659745-38-7P 659745-39-8P
659745-40-1P 659745-41-2P 659745-42-3P
659745-43-4P 659745-44-5P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation); USES (Uses)
   (preparation as fungicide)
658066-16-1 CAPLUS
Benzamide, 2-(trifluoromethyl)-N-[2-[5-(trifluoromethyl)-2-
pyridinyl]ethyl]- (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c} O \\ \parallel \\ C-NH-CH_2-CH_2 \\ \hline \\ CF_3 \end{array}$$

RN 658066-17-2 CAPLUS

CN Benzamide, 2-chloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \text{C1} \\ & \text{C} & \text{NH-CH}_2\text{-CH}_2 \\ & \text{C1} & \text{CF} \end{array}$$

RN 658066-18-3 CAPLUS

CN Benzamide, 3,4-dichloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{Cl} \\ \hline \\ \text{Cl} & \text{C-NH-CH}_2\text{-CH}_2 \\ \hline \\ \text{CF}_3 \\ \end{array}$$

RN 658066-19-4 CAPLUS

CN Benzamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 658066-20-7 CAPLUS

CN Benzamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2,4-difluoro-(9CI) (CA INDEX NAME)

RN 659745-42-3 CAPLUS

CN Benzamide, N-[2-[3-chloro-1-oxido-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2,6-difluoro-(9CI) (CA INDEX NAME)

RN 659745-43-4 CAPLUS

CN Benzamide, N-[2-[3-chloro-1-oxido-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 659745-44-5 CAPLUS

CN Benzamide, 2-chloro-N-[2-[3-chloro-1-oxido-5-(trifluoromethyl)-2-pyridinyl]ethyl]-6-fluoro- (9CI) (CA INDEX NAME)

L17 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:136478 CAPLUS

DOCUMENT NUMBER:

140:181332

TITLE:

Preparation of N-[2-(2-pyridyl)ethyl]benzamides as

fungicides

INVENTOR(S):

Mansfield, Darren James; Cooke, Tracey; Thomas, Peter

Stanley; Vors, Jean-Pierre; Coqueron, Pierre-Yves;

Briggs, Geoffrey Gower; Lachaise, Helene

PATENT ASSIGNEE(S):

SOURCE:

Bayer Cropscience S.A., Fr.

Eur. Pat. Appl., 17 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

data not god

LANGUAGE:

GΙ

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT :	NO.		KI	ND	DATE			Al	PPLI	CATI	N NC	o. 	DATE			
EP	1389																
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
WO	2004																
	2004																
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
														GB,			
														ΚZ,			
														NI,			
														SY,			
														ZW,			
		•		MD,		•	•	•	•								
	RW:					MW.	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
														ΙE,			
														CM,			
						SN,			•	•							
PRIORIT	Y APP	•		•	•	•	•		EP 2	002-	3561	59	Α	2002	0812		
														2003			
OTHER S	OURCE	(S):			MAR	PAT	140:										

Title compds. I [wherein X = independently halo, halogeno/alkyl; Y = independently halo, halogeno/alkyl, alkoxy, phenoxy, alkylthio, dialkylamino, acyl, CN, NO2, alkylsulfonyl, phenylsulfonyl, benzylsulfonyl, S-Ph substituted by a halogen; p = 1-4; q = 1-5; with the exception of N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2,6-dichlorobenzamide] were prepared as fungicides, in particular as fungicidal compns. for controlling phytopathogenic fungi of crops. For example, II was prepared in 4 steps by reaction of 2,3-dichloro-5-(trifluoromethyl)pyridine with Me cyanoacetate in DMF, decarboxylation in H2O/DMSO, Pd/C hydrogenation, and acylation with 2-chlorobenzoyl chloride. In vivo tests of activity upon Alternaria brassicae, Botrytis cinerea, Pyrenophora teres, and Septoria nodorum by selected I are reported,

demonstrating their fungicide efficiency (no data). Fungicidal compns. contain 0.05 to 99% active pyridylethylbenzamide.

658066-16-1P 658066-17-2P 658066-18-3P 658066-19-4P 658066-20-7P 658066-21-8P 658066-22-9P 658066-23-0P 658066-24-1P 658066-25-2P 658066-26-3P 658066-27-4P 658066-28-5P 658066-29-6P 658066-30-9P 658066-31-0P 658066-32-1P 658066-33-2P 658066-34-3P 658066-37-6P 658066-38-7P 658066-39-8P 658066-40-1P 658066-41-2P 658066-42-3P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fungicide; preparation of fungicidal pyridylethylbenzamides)

RN 658066-16-1 CAPLUS

CN Benzamide, 2-(trifluoromethyl)-N-[2-[5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 658066-17-2 CAPLUS

CN Benzamide, 2-chloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 658066-18-3 CAPLUS

CN Benzamide, 3,4-dichloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{C1} \\ \hline \\ \text{C1} & \text{C-NH-CH}_2\text{-CH}_2 \\ \hline \\ \end{array}$$

RN 658066-19-4 CAPLUS

CN Benzamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 658066-42-3 CAPLUS

CN Benzamide, 3-(chloromethyl)-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

L17 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:101143 CAPLUS

DOCUMENT NUMBER: 140:146168

TITLE: Antagonist of melanin-concentrating hormone receptor

comprising benzimidazole derivative as active

ingredient

INVENTOR(S): Moriya, Minoru; Kanatani, Akio; Iwaasa, Hisashi;

Ishihara, Akane; Fukami, Takehiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ои и	0.	DATE			
WO	2004	 0114	 40	A	1	2004	 0205		W	20	 03-J	P961	0	2003	0729		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	ΝZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU												
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
		NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
		G₩,	ML,	MR,	ΝE,	SN,	TD,	TG									
RITY	APP	LN.	INFO	.:					JP 2	002-	2209	05	Α	2002	0730		

OTHER SOURCE(S): MARPAT 140:146168

Ι

GI

date not good

Disclosed are antagonists of melanin-concentrating hormone receptor (MCH) AΒ comprising benzimidazole derivs. of the general formula (I) as active ingredients [wherein B1, B2, B3 = H, halo, lower alkyl, lower alkoxy; R1, R2 = H, 3- to 10-membered ring alicyclyl, lower alkyl optionally substituted by 3- to 10-membered ring alicyclyl, 3- to 10-membered ring N-containing aliphatic heterocyclyl; provided that R1 and R2 are not simultaneously H; R3 = H, (un) substituted lower alkyl; R4 = H, lower alkyl; W = a bond, mono- or bicyclic 3- to 10-membered ring aromatic or aliphatic heterocyclyl or carbocyclyl, C2-4 alkylene or alkenylene optionally having a carbon atom replaced by O in the main chain; Ar = mono- or bicyclic aromatic carbocyclyl or heterocyclyl]. Also disclosed are preventives or therapeutic agents containing the compds. I as the active ingredients for (1) metabolic diseases such as obesity, diabetes, hormone secretion abnormality, hyperlipidemia, gout, fatty liver, hepatitis, and liver cirrhosis, (2) circulatory diseases such as angina pectoris, acute ischemic heart failure, myocardial infarction, coronary arteriosclerosis, hypertension, kidney diseases, and electrolyte abnormality, (3) central or peripheral nerve diseases such as overeating, affective disorder, depression, anxiety, delirium, epilepsy, dementia, motor coordination disorder, attention deficiency-hyperactive (hyperkinesis) disorder, memory disorder, sleep disorder, cognition disorder, dyskinesia, sensation abnormality, olfaction disorder, morphine resistance, drug dependence, and alcoholism, (4) reproduction diseases such as sterility, premature labor, and sexual function disorder, (5) digestive tract diseases, (5) cancer, and (6) skin pigmentation. Thus, 5-(4-fluorophenyl)-N-[2-[isopropyl (methyl) amino]-1H-benzimidazol-6-yl]-2-pyrazinecarboxamide hydrochloride showed IC50 of 3.3 nM for inhibiting the binding of [1251] MCH to human MCH-1R and dose-dependently suppressed the MCH-induced feeding of rat.

## IT 652978-83-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as antagonists of melanin-concentrating

hormone receptor and drugs for central or peripheral nerve diseases, circulatory diseases, and metabolic diseases)

RN 652978-83-1 CAPLUS

CN 2-Pyridinepropanamide, N-[2-[methyl(1-methylethyl)amino]-1H-benzimidazol-6-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:796645 CAPLUS

DOCUMENT NUMBER:

139:307687

TITLE:

Preparation of (hetero)arylalkanoic acids and esters

as LXR agonists

INVENTOR(S):

Thompson, Scott K.; Kallander, Lara S.; Ma, Chun;

Marino, Joseph P.; Lee, Dennis

GΙ

RN

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KI			ND	D DATE APPLICATION NO. DATE												
WO 200	308280	)2	A.	1	2003:	1009		W	20	03-ປ	5927	3	2003	0326		
W:	ΑE,	AG,	AL,	ΑU,	BA,	BB,	BR,	ΒZ,	CA,	CN,	CO,	CR,	CU,	DM,	DZ,	EC,
	GD,	GE,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KP,	KR,	LC,	LK,	LR,	LT,	LV,
	MA,	MG,	MK,	MN,	MX,	NO,	ΝZ,	OM,	PH,	PL,	RO,	SC,	SG,	TN,	TT,	UA,
	US,	UZ,	VN,	YU,	ZA,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM		
RV	7: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
	MR,	NE,	SN,	TD,	TG											
PRIORITY A	PLN. I	INFO.	:				Ţ	JS 2	002-3	3684	26P	P	2002	0327		
OTHER SOURCE	CE(S):			MAR	PAT :	139:	3076	37								

$$(R^3)_n$$
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 

Title compds. I [X, X2 = bond, alkylene; X1 = alkylene; Q = (un)substituted cycloalkyl, Ph, heterocyclic; W1, W2 = cycloalkyl, aryl; R = H, alkyl, alkenyl, alkynyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl; R1, R2 = H, alkyl; R3 = halo, CN, NO2, (un)substituted alkyl, alkenyl, alkynyl; Z = (un)substituted CH, N; when Z = (un)substituted CH, n = 0-4; when Z = N, n = 0-3] were prepared for use as LXR agonists in treatment of cardiovascular disease, atherosclerosis, or inflammation (no data). Thus, 3-HOC6H4CH2CO2H was converted to 3-HOC6H4CH2CO2Me and treated with (S)-BrCH2CHMeCH2OH, followed by Ph2CHCH2NH2 and 2,3-Cl(F3C)C6H3CHO to give (S)-3-MeO2CC6H4OCH2CHMeCH2N(CH2CHPh2)CH2C6H3(CF3)Cl-3,2.

IT 610318-08-6P 610318-58-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)arylalkanoic acids and esters as LXR agonists) 610318-08-6 CAPLUS

CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)][6-(trifluoromethyl)-2-pyridinyl]methyl]amino]-2-methylpropoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/049,976

● HCl

RN 610318-58-6 CAPLUS

Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)][[6-(trifluoromethyl)-2-CN pyridinyl]methyl]amino]-2-methylpropoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

1

ACCESSION NUMBER:

2003:777762 CAPLUS

DOCUMENT NUMBER:

139:292162

TITLE:

Heteroaromatic ureas as vanilloid receptor (VR1) modulators, in particular antagonists, for treating

pain and/or inflammation

INVENTOR(S):

Brown, Rebecca Elizabeth; Doughty, Victoria Alexandra; Hollingworth, Gregory John; Jones, A. Brian; Lindon, Matthew John; Moyes, Christopher Richard; Rogers,

Lauren

PATENT ASSIGNEE(S):

Merck Sharp & Dohme Limited, UK

SOURCE:

PCT Int. Appl., 110 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080578	A1	20031002	WO 2003-GB1302	20030321

```
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO::

GB 2002-6876

A 20020322

OTHER SOURCE(S):

MARPAT 139:292162
```

Ι

$$(R^{1})_{1?3}$$
 $N - (CR^{5}R^{6})_{n} - Y$ 
 $R^{3}$ 
 $R^{4}$ 
 $(R^{2})_{1?3}$ 

AΒ Title compds. I [wherein A, B, D, E are each C or N with the proviso that one or more are N; R1, R2 = independently H, halo, alk(enyl/ynyl), haloalkyl, hydroxyalkyl, cycloalkyl, cycloalkylalkyl, NH2 and derivs., CO2H and derivs., (un) substituted alkyl, alkoxy; R3, R4 = independently H, alk(en/yn)yl; R5, R6 = at each occurrence, independently H, alk(enyl/ynyl), alkoxy, acyloxy, carboxy and derivs., CONH2 and derivs., sulfonyl(alkyl/amino), aryl, hetero(aryl/cyclyl), (un)substituted alkyl; or CR5R6 = 3-6 carbocyclic membered ring; R7, R8 = at each occurrence, independently H, alk(en/yn)yl, cycloalkyl, fluoroalkyl; or NR7R8 = (un) substituted 4-7 heteroaliph. membered ring; X = O, S or =NCN; Y = aryl, heteroaryl, carbocyclyl, fused carbocyclyl group; n = 0, 1, 2, 3; and their pharmaceutically acceptable salts, N-oxides, and prodrugs] were prepared as vanilloid receptor (VR1) modulators, in particular antagonists, for treating conditions or diseases in which pain and/or inflammation predominates. For example, 1-isoquinolin-5-yl-3-(3-phenylpropyl)urea was prepared by reacting isoquinoline-5-carboxylic acid with diphenylphosphoryl azide in toluene at reflux for 1 h through a Curtius rearrangement, followed by addition of 3-phenylpropylamine and reflux for 18 h. I bound to the VR1 receptor with an IC50 < 1  $\mu M,$  and in the majority of cases, < 200 nM. I are predominantly VR1 antagonists with a few of them VR1 partial antagonists and VR1 partial agonists. Thus, I and their pharmaceutical compns. are useful for treating pain and/or inflammation. IT **581812-56-8P**, 1-Isoquinolin-5-yl-3-[[5-(trifluoromethyl)pyridin-2yl]methyl]urea RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(VR1 receptor ligand; preparation of heteroarom. ureas as vanilloid receptor modulators for treating pain and inflammation) 581812-56-8 CAPLUS

(Uses)

CN Urea, N-5-isoquinolinyl-N'-[[5-(trifluoromethyl)-2-pyridinyl]methyl]-(9CI) (CA INDEX NAME)

CF3

CH2

NH

CMO

NH

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:656417 CAPLUS

DOCUMENT NUMBER: 139:197383

TITLE: Preparation of fused azabicyclic compounds that

inhibit vanilloid receptor subtype 1 (VR1)

INVENTOR(S): Lee, Chih-Hung; Bayburt, Erol K.; Didomenico, Stanley;

Drizin, Irene; Gomtsyan, Arthur R.; Koenig, John R.; Perner, Richard J.; Schmidt, Robert G.; Turner, Sean

C.; White, Tammie K.; Zheng, Guo Zhu

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 79 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003158198	A1	20030821	US 2003-364210	20030211
WO 2003070247	Al	20030828	WO 2003-US4187	20030211

W: CA, JP, MX

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IT, LU, MC, NL, PT, SE, SI, SK, TR

PRIORITY APPLN. INFO.: US 2002-358220P P 20020220

US 2002-79324 A 20020220

US 2003-364210 A 20030211

OTHER SOURCE(S): MARPAT 139:197383

GΙ

date not

AB Compds. of formula I [X1-X5 = (substituted) N, (substituted) CH; Z1 = O, NH, S; Z2 = bond, NH, O; L = alkylene, cycloalkylene, piperazinediyl, etc.; R5-R9 = H, alkyl, alkenyl, alkoxy, carboxy, cycloalkyl, formyl, mercapto, etc.; R10 = H, aryl, cycloalkyl, heterocyclyl] are prepared as vanilloid receptor subtype 1 (VR1) antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity. Thus, II was prepared from 5-aminoisoquinoline and 2-(3-fluorophenyl)ethylamine. The prepared compds. were found to be antagonists of VR1 with IC50 of.1 nM to 1000 nM.

IT 581812-56-8P 581813-96-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused azabicyclic compds. as vanilloid receptor 1 inhibitors)

RN 581812-56-8 CAPLUS

CN Urea, N-5-isoquinolinyl-N'-[[5-(trifluoromethyl)-2-pyridinyl]methyl](9CI) (CA INDEX NAME)

RN 581813-96-9 CAPLUS

CN Urea, N-5-isoquinolinyl-N'-[[5-(trifluoromethyl)-2-pyridinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L17 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:104657 CAPLUS

DOCUMENT NUMBER:

136:151003

TITLE:

Preparation of N-[(aryloxy)phenyl](thio)ureas and

-carbamates as agrochemical fungicides

INVENTOR(S):

Gerusz, Vincent; Mansfield, Darren James; Perez, Jose;

Tickle, David; Vors, Jean-Pierre; Baldwin, Derek;

Hough, Thomas; Mitchell, Dale Robert

PATENT ASSIGNEE(S):

Aventis CropScience SA, Fr. Eur. Pat. Appl., 42 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 1178039	A1 20020206	EP 2001-420173	20010801
R: AT, BE,	CH, DE, DK, ES, F	R, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI,	LT, LV, FI, RO		
FR 2812633	A1 20020208	FR 2000-10305	20000804
JP 2002114751	A2 20020416	JP 2001-238513	20010806
US 2003008884	A1 20030109	US 2001-923124	20010806
US 6696487	B2 20040224		
PRIORITY APPLN. INFO	.:	FR 2000-10305 A	20000804
OTHER SOURCE(S):	MARPAT 136:15	1003	

GΙ

AB R6ZZ1NRC(:X)R5 [I; R = H, alkyl, etc.; R5 = NR1R2, OR3, SR3; R1,R2 = H, alkyl, acyl, etc.; RR1, RR3, R1R2 = atoms to complete a ring; R3 = H, alkyl, etc.; R6 = 2-benzothienyl, 5-tert-butyl-1,3,4-oxadiazol-2-yl, substituted Ph, etc.; X = O or S; Z = bond, O, CO, SOO-2, NH, etc.; Z1 = e.g., 2,5-dimethyl-1,4-phenylene] were prepared Thus, 2-chloro-1,4-xylene was nitrated and the product etherified by 3-(Me3C)C6H4OH to give, after reduction, the phenoxyanilline which was treated with Cl2CS and the product amidated by HNMeEt to give title compound II. Data for biol. activity of I were given.

IT 395658-05-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(aryloxy)phenyl](thio)ureas and -carbamates as agrochem. fungicides)

RN 395658-05-6 CAPLUS

CN Thiourea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-[4-[3-(1,1-dimethylethyl)phenoxy]-2,5-dimethylphenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:851122 CAPLUS

DOCUMENT NUMBER: 135:371759

TITLE: Preparation of N-imidazolylphenyl-5,6-

dihydrobenzo[h]quinazolin-4-amines and other

N-containing heterocyclic amines as

5-hydroxytryptamine antagonists for treatment of CNS

disorders

INVENTOR(S): Yamada, Akira; Spears, Glen; Hayashida, Hisashi;

Tomishima, Masaki; Ito, Kiyotaka; Imanishi, Masashi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

CUMENT TYPE: Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
APPLICATION NO. DATE
                           KIND
                                  DATE
      PATENT NO.
                           ____
                            Α2
                                  20011122
                                                     WO 2001-JP4002
                                                                          20010514
      WO 2001087845
                            A3
                                  20020829
      WO 2001087845
               AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
               HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
                BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            Α5
                                  20011126
                                                     AU 2001-56728
      AU 2001056728
                                                                          20010514
                                  20030918
                                                     US 2002-258582
                                                                          20021101
      US 2003176454
                            A1
PRIORITY APPLN. INFO.:
                                                 AU 2000-7501
                                                                     A 20000515
                                                 AU 2000-1955
                                                                      A 20001207
                                                 WO 2001-JP4002
                                                                      W 20010514
OTHER SOURCE(S):
                              MARPAT 135:371759
GI
```

AΒ Title compds. AMQNHZ [I; wherein A = H, (un)substituted, unsatd., N-containing heterocyclic group, or C(NH)NHR; R = (un)substituted aryl or heterocyclic group; M = (CH2)n, (CH2)nO(CH2)m, or (CH2)nNH(CH2)m; n and m = independently 0-2; Q = (un) substituted cycloalkylene group, arylene, or divalent heterocyclic group; Z = (un)substituted, unsatd., mono-, di-, tri-, or tetra-cyclic, N-containing heterocyclic group which may contain addnl. N, O, and S atoms as the ring member(s), e.g. indeno[1,2,3de]phthalazinyl or 5,6-dihydrobenzo[h]quinazolinyl; and the prodrugs or pharmaceutically acceptable salts thereof] were prepared For example, a mixture of 4-chloro-5,6-dihydrobenzo[h]quinazoline, 3-(1,2-dimethyl-1Himidazol-5-yl)aniline, and 1,3-dimethyl-2-imidazolidinone was heated for an hour at 200°C, cooled, treated with 1N aqueous NaOH and water, and worked up to give II. I are 5-hydroxytryptamine (5-HT) antagonists useful for the prevention and/or treatment of central nervous system (CNS) disorders, such as anxiety, depression, obsessive compulsive disorders, migraine, anorexia, Alzheimer's disease, sleep disorders, bulimia, panic attacks, withdrawal from drug abuse, schizophrenia, and disorders associated with spinal trauma and/or head injury (no data).

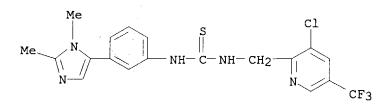
IT 374555-05-2P, N-[[3-Chloro-5-(trifluoromethyl)-2-pyridyl]methyl]N'-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]thiourea
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolina

mines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 374555-05-2 CAPLUS

Thiourea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-[3-(1,2-CN dimethyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



L17 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:136943 CAPLUS

DOCUMENT NUMBER: 134:174246

TITLE: Preparation of pyridine derivative fungicides

INVENTOR(S): Cooke, Tracey; Hardy, David; Moloney, Brian; Thomas,

Peter Stanley; Steele, Chris Richard; Briggs, Geoffrey present case

Gower

PATENT ASSIGNEE(S): Aventis CropScience GmbH, Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA:	CENT 1	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	٥.	DATE			
	WO	2001	0119	65	A	1	2001	0222		W	0 20	00-E	P814	3	2000	0809		
		W:	ΑE,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	CR,
			CU,	CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,
			IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
			MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,
			SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
			ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM						
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG			
	BR	2000	0133'	71	Α		2002	0507		В	R 20	00-1	3371		2000	0809		
	ΕP	1204	323		A	1	2002	0515		E	P 20	00-9	6049	9	2000	0809		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	$\mathtt{AL}$							
	JP	2003	5064	65	T	2	2003	0218		J	P 20	01-5	1632	В	2000	0809		
PRIOF	RITY	APP:	LN.	INFO	. :				(	GB 1	999-	1949	9	Α	1999	0818		
									(	GB 1	999-	1950	)	Α	1999	0818		
									1	WO 2	000 - 1	EP814	43	W	20000	0809		

OTHER SOURCE(S): MARPAT 134:174246

The pyridine derivs. A1CR1R2LA2 [A1 = (un)substituted 2-pyridyl or its N-oxide; Y = LA2 or L1A3; A2, A3 = (un)substituted carbocyclyl or heterocyclyl; L = NR5C(:X)NR6, NR5C(:X)CHR3, CHR3NR5CHR4, etc.; L1 = NR9C(:X)X1CHR7, NR9C(:X)CHR7CHR8, etc.; R1-9 = CN, NO2, halo, etc.] are prepared as agrochem. fungicides.

TT 264225-99-2P 264226-00-8P 326814-83-9P 326814-84-0P 326814-85-1P 326814-86-2P

RN

CN

```
326814-87-3P 326814-88-4P 326814-89-5P
326814-90-8P 326814-91-9P 326814-92-0P
326814-93-1P 326814-94-2P 326814-95-3P
326814-96-4P 326814-97-5P 326814-98-6P
326814-99-7P 326815-00-3P 326815-01-4P
326815-02-5P 326815-03-6P 326815-04-7P
326815-05-8P 326815-06-9P 326815-07-0P
326815-08-1P 326815-09-2P 326815-10-5P
326815-11-6P 326815-12-7P 326815-13-8P
326815-14-9P 326815-15-0P 326815-16-1P
326815-17-2P 326815-18-3P 326815-19-4P
326815-20-7P 326815-21-8P 326815-22-9P
326815-23-0P 326815-24-1P 326815-25-2P
326815-26-3P 326815-27-4P 326815-28-5P
326815-29-6P 326815-30-9P 326815-31-0P
326815-32-1P 326815-33-2P 326815-34-3P
326815-35-4P 326815-36-5P 326815-37-6P
326815-38-7P 326815-39-8P 326815-40-1P
326815-41-2P 326815-42-3P 326815-43-4P
326815-44-5P 326815-45-6P 326815-46-7P
326815-47-8P 326815-48-9P 326815-49-0P
326815-50-3P 326815-51-4P 326815-52-5P
326815-53-6P 326815-54-7P 326815-55-8P
326815-56-9P 326815-57-0P 326815-58-1P
326815-59-2P 326815-60-5P 326815-61-6P
326815-62-7P 326815-63-8P 326815-64-9P
326815-65-0P 326815-66-1P 326815-67-2P
326815-68-3P 326815-69-4P 326815-70-7P
326815-71-8P 326815-72-9P 326815-73-0P
326815-74-1P 326815-75-2P 326815-76-3P
326815-77-4P 326815-78-5P 326815-79-6P
326815-80-9P 326815-81-0P 326815-82-1P
326815-83-2P 326815-84-3P 326815-85-4P
326815-86-5P 326815-87-6P 326815-88-7P
326815-89-8P 326815-90-1P 326815-91-2P
326815-92-3P 326815-93-4P 326815-94-5P
326815-95-6P 326815-96-7P 326815-97-8P
326815-98-9P 326815-99-0P 326816-00-6P
326816-01-7P 326816-02-8P 326816-03-9P
326816-04-0P 326816-05-1P 326816-06-2P
326816-07-3P 326816-08-4P 326816-09-5P
326816-10-8P 326816-11-9P 326816-12-0P
326816-13-1P 326816-14-2P 326816-15-3P
326816-16-4P 326816-17-5P 326816-18-6P
326816-19-7P 326816-20-0P 326816-21-1P
326816-22-2P 326816-23-3P 326816-24-4P
326816-25-5P 326816-26-6P 326816-27-7P
326816-28-8P 326816-29-9P 326816-30-2P
326816-31-3P 326816-32-4P 326816-33-5P
326816-34-6P 326816-35-7P 326816-73-3P
326816-78-8P 326817-11-2P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation); USES (Uses)
   (preparation as fungicide)
264225-99-2 CAPLUS
Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-phenyl-
(9CI) (CA INDEX NAME)
```

RN 264226-00-8 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & C1 \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

RN 326814-83-9 CAPLUS

CN Thiourea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-phenyl-(9CI) (CA INDEX NAME)

RN 326814-84-0 CAPLUS

CN Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-cyclohexyl-(9CI) (CA INDEX NAME)

RN 326814-85-1 CAPLUS

CN Urea, N-(2-chlorophenyl)-N'-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

RN 326814-86-2 CAPLUS

CN Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-(2,3-dichlorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ \hline \\ F3C & N \\ \end{array}$$

RN 326814-87-3 CAPLUS

CN Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-(3,5-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 326814-88-4 CAPLUS

CN Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 326814-89-5 CAPLUS

CN Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 326814-90-8 CAPLUS

CN Urea, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

326816-78-8 CAPLUS RN

Benzeneacetamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-CN $\alpha$ -(2,4,5-trichlorophenoxy)- (9CI) (CA INDEX NAME)

RN 326817-11-2 CAPLUS

CN 3(2H)-Benzothiazoleacetamide, 4-chloro-N-[[3-chloro-5-(trifluoromethyl)-2pyridinyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)

2 REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

1995:928190 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

123:340162

TITLE: Preparation of dihydrooxazines and analogs as

herbicides

INVENTOR(S): Go, Atsushi; Usui, Yoshihiro; Takahashi, Takako;

Mukoda, Hideji

PATENT ASSIGNEE(S): Mitsubishi Kaqaku KK, Japan Jpn. Kokai Tokkyo Koho, 43 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07188225	A2	19950725	JP 1993-328534	19931224
PRIORITY APPLN. INFO.:	:		JP 1993-328534	19931224

OTHER SOURCE(S):

MARPAT 123:340162

GI

The title compds. I [R1 = (un) substituted aryl, etc.; R2 = H, alkyl; R3 = heterocyclic ring (further details on said ring are given); R4, R5 = alkyl; X = O, etc.] are prepared I [R1 = phenyl; R2 = R4 = R5 = methyl; R3 = Q] (II) (preparation given) at 1000 g/ha gave 90 - 100% control of Scirpus juncoides and barnyard grass and caused no damage to rice plants. II at 1000 g/ha gave 80 - 90% control of Monochoria vaginalis. The herbicidal activities of compds. of this invention are given in 4 tables in this document.

IT 170438-31-0 170438-32-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dihydrooxazines and analogs as herbicides)

RN 170438-31-0 CAPLUS

CN Benzeneacetamide, N-[1-[6-chloro-4-(trifluoromethyl)-2-pyridinyl]-1-methylethyl]-N-(3-oxobutyl)- (9CI) (CA INDEX NAME)

RN 170438-32-1 CAPLUS

CN Benzeneacetamide, N-[1-methyl-1-[6-(trifluoromethyl)-2-pyridinyl]ethyl]-N-(3-oxobutyl)- (9CI) (CA INDEX NAME)